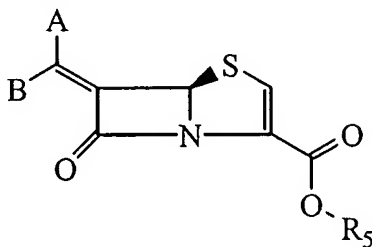


Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the Application:

1. (Canceled)
2. (Canceled)
3. (Canceled)
4. (Canceled)
5. (Canceled)
6. (Canceled)
7. (Canceled)
8. (Canceled)

9. (Currently amended) A process for the preparation of compounds of the formula I



wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two R_2 , heteroaryl optionally substituted with one or two R_2 , fused bicyclic heteroaryl optionally substituted with one or two R_2 , fused tricyclic heteroaryl optionally substituted with one or two R_2 , cycloalkyl optionally substituted with one or two R_2 , alkyl optionally substituted with one or two R_2 , alkenyl optionally substituted with one or two R_2 , alkynyl optionally substituted with one or two R_2 , saturated or partially saturated heteroaryl optionally substituted with one or two R_2 ;

R_5 is H, C1 -C6 alkyl, C5 - C6 cycloalkyl, or $\text{CHR}_3\text{OCOC1-C6alkyl}$;

R₁ is H, optionally substituted -C1-C6 alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C3-C7 cycloalkyl, optionally substituted -C3-C6 alkenyl, optionally substituted -C3-C6 alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C1-C6 per fluoro alkyl, -S(O)_p optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C3-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkyl aryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkyl aryloxyaryl, optionally substituted C1-C6 alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl.

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated

heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R_3 is hydrogen, C1-C6 alkyl, C5 – C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, S=(O)_n n = 0-2;

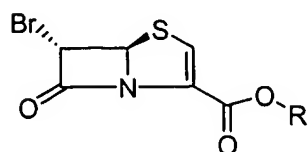
said process comprising

(a) condensing an aldehyde **17**



17

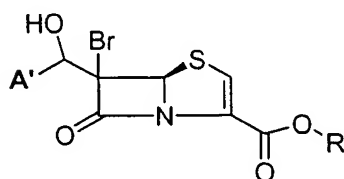
wherein A' is defined as A or B whichever one of A or B is not hydrogen,
with 6-bromo-penem derivative of structure **16**



16

wherein R is p-nitrobenzyl

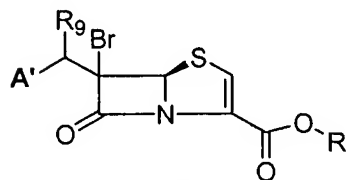
in the presence of a Lewis acid and an organic tertiary amine base, at a temperature of -10°C to -40°C to form an intermediate aldol product 18.



18

wherein A' and R are as defined above;

(b) reacting intermediate 18 with an acid chloride or anhydride, (R₈)Cl or (R₈)₂O, or with tetrahalomethane, C(X₁)₄, and triphenyl phosphine, to form intermediate compound 19



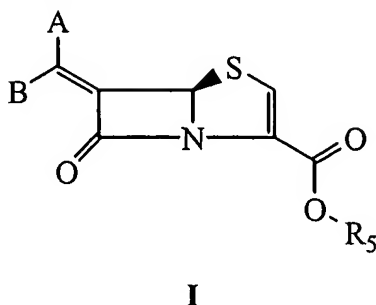
19

wherein R₈ is alkylSO₂, arylSO₂, alkylCO, or arylCO; X₁ is Br, I, or Cl; A' and R are as defined above; and R₉ is X₁ or OR₈; and

(c) converting the intermediate compound 19 to the desired formula I compound by a reductive elimination process, wherein the reductive elimination process is carried out using activated zinc and a phosphate buffer at a pH of about 6.5 to 8.0 or hydrogenating over a catalyst.

10. (Original) The process according to claim 9 wherein the hydrogenating over a catalyst is carried out using palladium on charcoal.

11. (Currently Amended) A process for the preparation of compounds of the formula I



wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two R_2 , heteroaryl optionally substituted with one or two R_2 , fused bicyclic heteroaryl optionally substituted with one or two R_2 , fused tricyclic heteroaryl optionally substituted with one or two R_2 , cycloalkyl optionally substituted with one or two R_2 , alkyl optionally substituted with one or two R_2 , alkenyl optionally substituted with one or two R_2 , alkynyl optionally substituted with one or two R_2 , saturated or partially saturated heteroaryl optionally substituted with one or two R_2 ;

R_5 is H, C1 –C6 alkyl, C5 – C6 cycloalkyl, or $\text{CHR}_3\text{OCOC1-C6alkyl}$;

R_1 is H, optionally substituted -C1-C6 alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C3-C7 cycloalkyl, optionally substituted -C3-C6 alkenyl, optionally substituted -C3-C6 alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C1-C6 per fluoro alkyl, $-\text{S}(\text{O})_p$ optionally substituted alkyl or aryl where p is 2, optionally substituted $-\text{C}=\text{O}$ heteroaryl, optionally substituted $-\text{C}=\text{O}$ aryl, optionally substituted $-\text{C}=\text{O}$ (C1-C6) alkyl, optionally substituted $-\text{C}=\text{O}$ (C3-C6) cycloalkyl, optionally substituted $-\text{C}=\text{O}$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkyl aryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-\text{CONR}_6\text{R}_7$, $-\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted

arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl.

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 -C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₃ is hydrogen, C1-C6 alkyl, C5 - C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted

arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, S=(O) $_n$ $n = 0-2$;

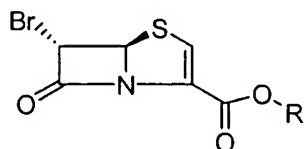
said process comprising

(b) condensing an aldehyde **17**



17

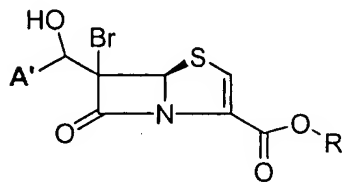
wherein A' is defined as A or B whichever one of A or B is not hydrogen,
with 6-bromo-penem derivative of structure **16**



16

wherein R is p-nitrobenzyl

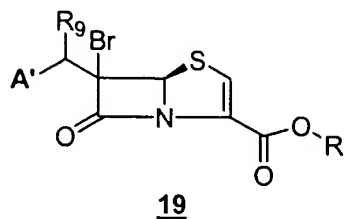
in the presence of a Lewis acid and an organic tertiary amine base, at a temperature of -10°C to -40°C to form an intermediate aldol product **18**



18

wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, $(R_8)\text{Cl}$ or $(R_8)_2\text{O}$, or with tetrahalomethane, $\text{C}(\text{X}_1)_4$, and triphenyl phosphine, to form intermediate compound **19**

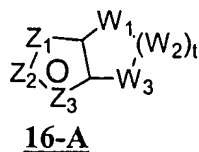


wherein R_8 is alkylSO₂, arylSO₂, alkylCO, or arylCO; X_1 is Br, I, or Cl; A' and R are as defined above; and R_9 is X_1 or OR_8 ; and

(c) converting the intermediate compound **19** to the desired formula **I** compound by a reductive elimination process, wherein A or B is a fused tricyclic heteroaryl group or a fused bicyclic heteroaryl group.

12. (Canceled)

13. (Currently amended) The process according to claim 11 wherein the fused bicyclic heteroaryl group has the structural formula



wherein Z_1 , Z_2 , and Z_3 are independently CR₂, N, O, S or N-R₁ provided one of Z_1 , Z_2 , or Z_3 is carbon and is bonded to the remainder of the molecule as shown in formula **I**;

W_1 , W_2 and W_3 are independently CR₄R₄, S, SO, SO₂, O, N-R₁, C=O; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

$t = 1$ to 4;

R_1 is H, optionally substituted -C1-C6 alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C3-C7 cycloalkyl, optionally substituted -C3-C6 alkenyl, optionally substituted -C3-C6 alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C1-C6 per fluoro alkyl, -S(O)_p optionally substituted alkyl or aryl where p is 2, optionally substituted -

C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C3-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkyl aryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl;

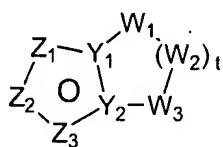
R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q-optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted

aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₄ is H, optionally substituted C1-C6 alkyl, one of R₄ is OH, C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S=(O)_n (where n =0 to 2), N-R₁; and

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, S=(O)_n n = 0-2.

14. (Currently amended) The process according to claim 11 wherein the fused bicyclic heteroaryl group has the structural formula



wherein

Z₁, Z₂ and Z₃ are independently CR₂, N, O, S or N-R₁ provided one of Z₁ -Z₃ is carbon and is bonded to the remainder of the molecule;

W₁, W₂ and W₃ are independently CR₄R₄, S, SO, SO₂, O, or N-R₁;

t= 1 to 4;

Y₁ and Y₂ are independently N or C; with the proviso that at least one of Y₁ and Y₂ is C; with the proviso that if the aromatic ring portion of the bicyclic heteroaryl group is imidazole, the nonaromatic ring portion may not contain a S adjacent to the bridgehead carbon;

R₁ is H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C5-C7 cycloalkyl, optionally substituted C3-C6 alkenyl, optionally substituted C3-C6 alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C1-C6 perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C5-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

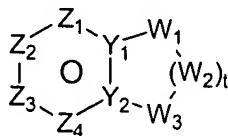
R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16

carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, one of R_4 is OH, C1-C6 alkoxy, $-\text{S}-\text{C1-C6 alkyl}$, COOR_6 , $-\text{NR}_6\text{R}_7$, $-\text{CONR}_6\text{R}_7$; or R_4R_4 may together be $=\text{O}$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, $\text{S}=\text{O}$ (where $n=0$ to 2), and $\text{N}-\text{R}_1$; and

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkylheteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N, O, or S.

15. (Currently amended) The process according to claim 11 wherein the fused bicyclic heteroaryl group is



16-C

wherein

Z1 , Z2 , Z3 and Z4 are independently CR_2 or N provided one of $\text{Z1}-\text{Z4}$ is carbon and is bonded to the remainder of the molecule;

W1 , W2 and W3 are independently CR_4R_4 , S, SO , SO_2 , O, or $\text{N}-\text{R}_1$; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

t= 1 to 4;

Y_1 and Y_2 are independently C or N; with the proviso that at least one of Y_1 and Y_2 is C;

R_1 is H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C5-C7 cycloalkyl, optionally substituted C3-C6 alkenyl, optionally substituted C3-C6 alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C1-C6 perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ (C1-C6) alkyl, optionally substituted $-C=O$ (C5-C6) cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

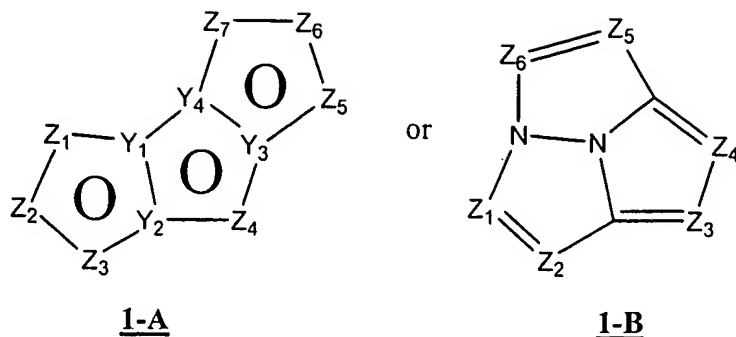
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 – C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 [[akyl]] alkyl, $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted

C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, one of R_4 is OH, C1-C6 alkoxy, -S-C1-C6 alkyl, COOR_6 , $-\text{NR}_6\text{R}_7$, $-\text{CONR}_6\text{R}_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, $\text{S}=\text{O}_n$ (where $n=0$ to 2), and N- R_1 ; and

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkylheteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N, O, or S.

16. (Currently amended) The process according to claim 11 wherein the fused tricyclic heteroaryl group has the formula



wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 , Z_6 and Z_7 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_7$ is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkoxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

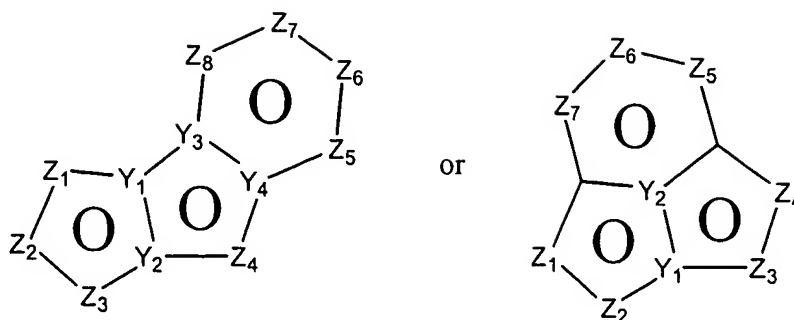
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl,

COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ may independently be C or N; with the proviso that in formula 1-A, at least one of Y₁ and Y₂ is C.

17. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



2-A

2-B

wherein Z_1 , Z_2 , Z_3 , and Z_4 are independently CR_2 , N, O, S or $N-R_1$; Z_5 , Z_6 , Z_7 and Z_8 are independently CR_2 or N; provided one of the $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

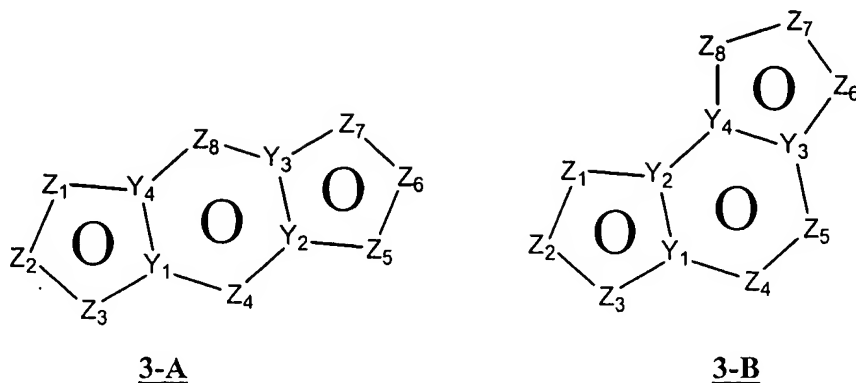
R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-$ heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl,

optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl; R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

Y₁, and Y₂ are independently C or N; Y₃ and Y₄ are C; provided that in formula 2-A, at least one of Y₁ and Y₂ is C; and provided that in formula 2-B, Y₂ is C.

18. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein in formula 3-A, Z_1 , Z_2 , Z_3 , Z_5 , Z_6 , and Z_7 are independently CR_2 , N, O, S or $N-R_1$; and in formula 3-A, Z_4 and Z_8 are independently CR_2 or N; in formula 3-B, Z_1 , Z_2 , Z_3 , Z_6 , Z_7 , and Z_8 are independently CR_2 , N, O, S or $N-R_1$; and in formula 3-B, Z_4 and Z_5 are independently CR_2 or N; provided one of $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

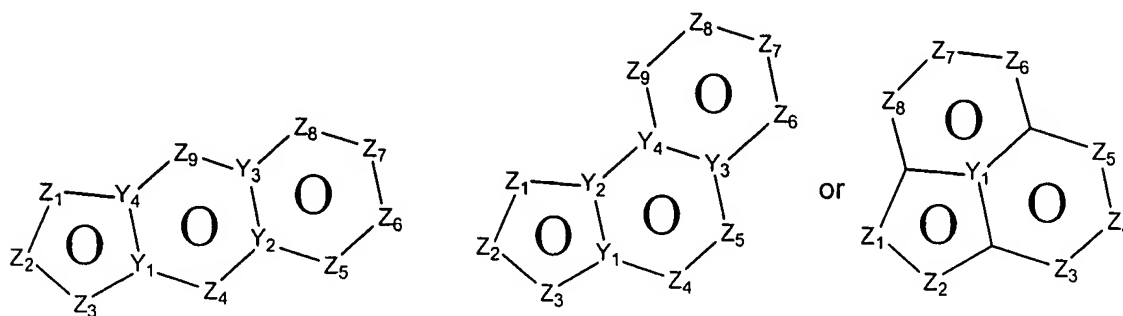
R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkoxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-$ heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl,

optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxyalkyl, or optionally substituted heteroaryloxy carbonyl; R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylendioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ are C.

19. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



4-A

4-B

4-C

wherein Z_1 , Z_2 , and Z_3 are independently CR_2 , N, O, S or $N-R_1$; and Z_4 , Z_5 , Z_6 , Z_7 , Z_8 and Z_9 are independently CR_2 or N; provided one of the $Z_1 - Z_9$ is a carbon atom to which the remainder of the molecule is attached; provided that in formula 4-C, Z_3 cannot be O, S or $N-R_1$;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl,

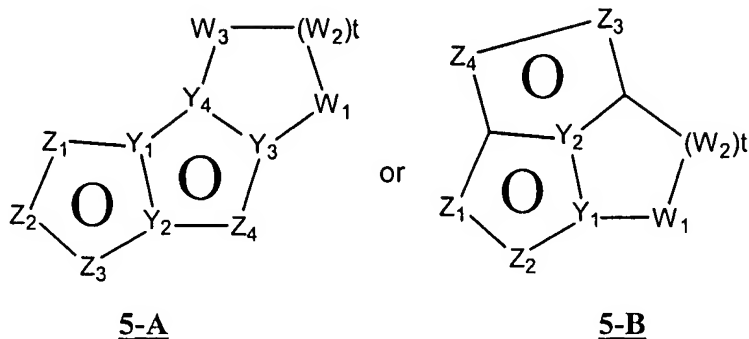
optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxyalkyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylendioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together to together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ are C.

20. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein Z₁, Z₂, Z₃ and Z₄ are independently CR₂, N, O, S or N-R₁ provided one of Z₁ - Z₄ is a carbon atom to which the remainder of the molecule is attached;

Y₁, Y₂, Y₃ and Y₄ are independently C or N; provided that in formula 5-A, at least one of Y₁ and Y₂ is C; and provided that in formula 5-B, Y₁ and Y₂ are C;

W₁, W₂ and W₃ are independently CR₄R₄, S(O)_r (r = 0 - 2), O, or N-R₁ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O alkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkoxyalkyl,

optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxcarbonyl, or optionally substituted heteroaryloxy carbonyl;

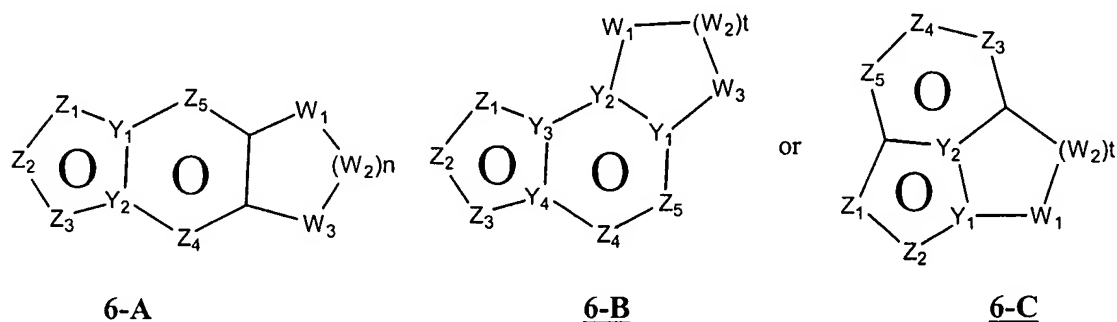
R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[alkyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)_n (where n = 0 to 2), N-R₁;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together to together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and S(O)_n n = 0-2; and

t = 1 to 3.

21. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein Z_1 , Z_2 , and Z_3 are independently CR₂, N, O, S or N- R_1 ; Z_4 and Z_5 are CR₂ or N; provided one of Z_1 - Z_5 is a carbon atom to which the remainder of the molecule is attached; provided that in formula 6-C, Z_3 cannot be O, S or N- R_1 ;

Y_1 is independently C or N; provided that in formula 6-A and 6-B, Y_1 is C; Y_2 , Y_3 and Y_4 are C; W_1 , W_2 and W_3 are independently CR₄R₄, S(O)_r (r = 0 -2), O, or N- R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where

p is 0-2, optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=Ocycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally

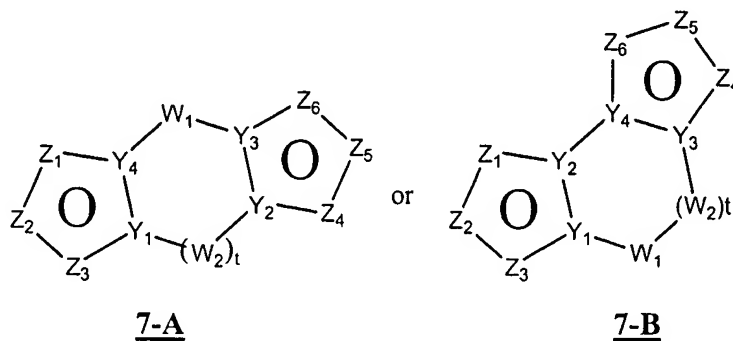
substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR_6 , $-\text{NR}_6\text{R}_7$, $-\text{CONR}_6\text{R}_7$; or $R_4\text{R}_4$ may together be =O or $R_4\text{R}_4$ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O) n (where $n=0$ to 2), N- R_1 ;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and S(O) n $n=0-2$; and

$t = 1$ to 3.

22. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 and Z_6 are independently CR_2 , N, O, S, and N- R_1 ; provided one of $Z_1 - Z_6$ is a carbon atom to which the remainder of the molecule is attached; Y_1 , Y_2 , Y_3 and Y_4 are independently C or N; with the proviso that in formula 7-A at least one of Y_1 and Y_4 is C; and with the proviso that in formula 7-B at least one of Y_1 and Y_2 is C and at least one of Y_3 and Y_4 is C;

W_1 and W_2 are independently CR_4R_4 , $S(O)_r$ ($r = 0-2$), O, N- R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

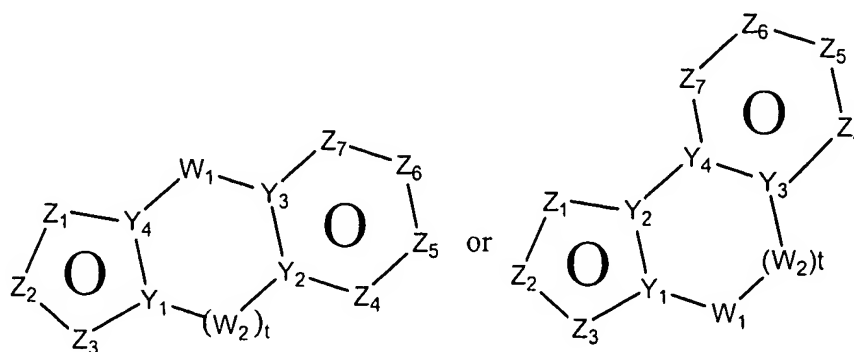
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N- R_6R_7 , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ - optionally substituted C1-C6 [[alkyl]] alkyl, $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally

substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR_6 , $-\text{NR}_6\text{R}_7$, $-\text{CONR}_6\text{R}_7$; or R_4R_4 may together be $=\text{O}$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, $\text{S}(\text{O})_n$ (where $n=0$ to 2), N- R_1 ;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and $\text{S}(\text{O})_n$ $n=0-2$; and $t=1$ to 3.

23. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



8-A

8-B

wherein Z_1 , Z_2 , and Z_3 are independently CR_2 , N, O, S or $N-R_1$; Z_4 , Z_5 , Z_6 and Z_7 are independently CR_2 or N; provided one of the $Z_1 - Z_7$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 and Y_4 are independently C or N; Y_2 and Y_3 are C; provided that in formula 8-A at least one of Y_1 and Y_4 is C; and provided that in formula 8-B Y_4 is C;

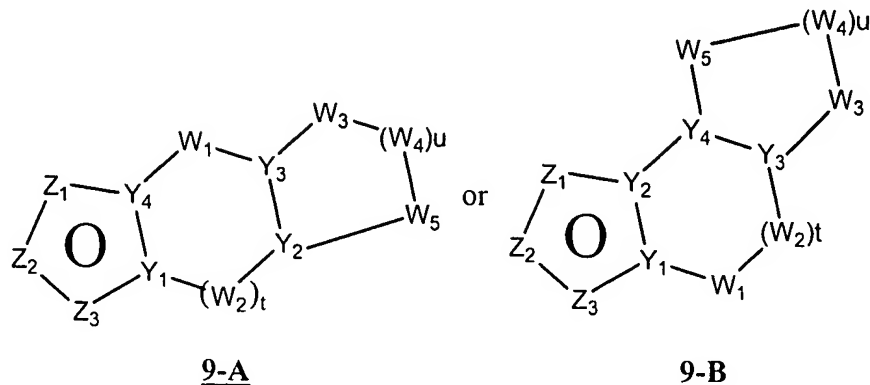
W_1 and W_2 are independently CR_4R_4 , $S(O)_r$ ($r = 0 - 2$), O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl; R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy,

optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)_n (where n = 0 to 2), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and t = 0-3.

24. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein Z_1 , Z_2 and Z_3 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_3$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 and Y_4 are independently C or N;

Y_2 and Y_3 are independently CH or N; with the proviso that in formula 9-A at least one of Y_1 and Y_4 is C; and with the proviso that in formula 9-B at least one of Y_1 and Y_2 is C;

W_1 , W_2 , W_3 , W_4 and W_5 are independently CR_4R_4 , $S(O)_r$ ($r = 0 - 2$), O, or $N-R_1$ with the

proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -

alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

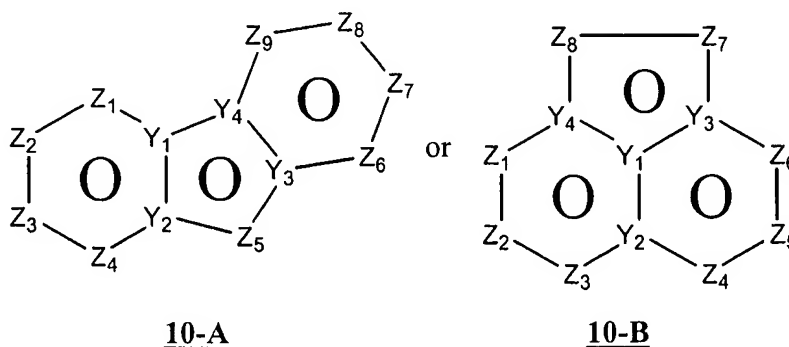
R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)_n (where n = 0 to 2), N-R₁;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and $S(O)_n$ $n = 0-2$;

$t = 0$ to 2 ; and

$u = 1$ to 3 .

25. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein $Z_1, Z_2, Z_3, Z_4, Z_5, Z_6, Z_7, Z_8$ and Z_9 are independently CR_2 , N, O, S or N- R_1 provided one of the $Z_1 - Z_9$ is a carbon atom to which the remainder of the molecule is attached; provided that $Z_1, Z_2, Z_3, Z_4, Z_6, Z_7, Z_8$ and Z_9 are not O, S or N- R_1 in formula 10-A and provided that Z_7 and Z_8 are not O, S or N- R_1 in formula 10-B;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally

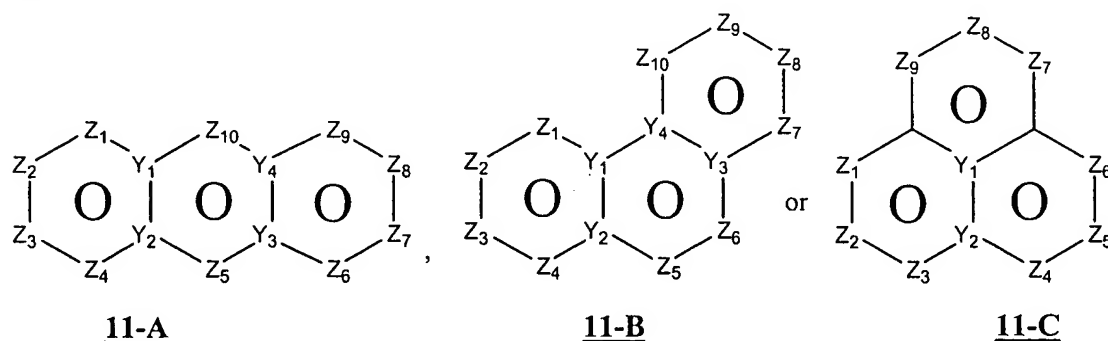
substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[alkyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ are C.

26. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein Z₁, Z₂, Z₃, Z₄, Z₅, Z₆, Z₇, Z₈, Z₉ and Z₁₀ are independently CR₂ or N provided one of Z₁ – Z₁₀ is a carbon atom to which the remainder of the molecule is attached;

R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O alkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl

mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-\text{CONR}_6\text{R}_7$, $-\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

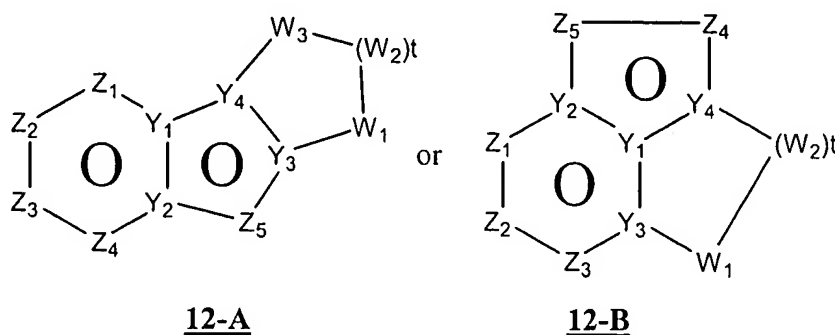
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $\text{N-R}_6\text{R}_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR_6 , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q - optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q - optionally substituted aryl where q is 0, 1 or 2, CONR_6R_7 , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a

3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R₁, O, and $S(O)_n$ $n = 0-2$; and

Y_1, Y_2, Y_3 and Y_4 are C.

27. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein Z_1, Z_2 , and Z_3 , are independently CR_2 or N; Z_4 and Z_5 are independently CR_2 , N, O, S or N-R₁ provided that one of $Z_1 - Z_5$ is a carbon atom to which the remainder of the molecule is attached; provided that in formula 12-A, Z_4 is not O, S or N-R₁;

Y_1 , and Y_2 are C; Y_3 and Y_4 are independently C or N; provided that in formula 12-B Y_3 is C;

W_1, W_2, W_3 are independently CR_4R_4 O, N-R₁, or $S(O)_r$ ($r = 0-2$) with the proviso that no S-S,

S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally

substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or

bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted

alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor

the triple bond should be present at the carbon atom which is directly linked to N;

optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p

is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl,

optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally

substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6

alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-

C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl

mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-\text{CONR}_6\text{R}_7$, $-\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $\text{N-R}_6\text{R}_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR_6 , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q - optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q - optionally substituted aryl where q is 0, 1 or 2, CONR_6R_7 , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

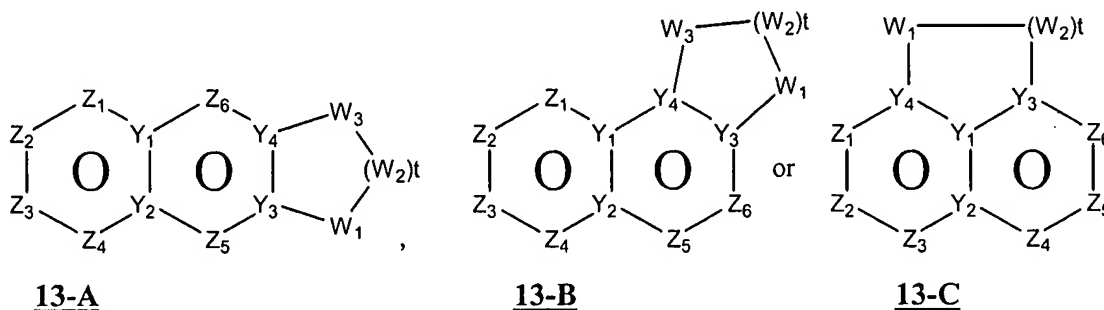
R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR_6 , $-\text{NR}_6\text{R}_7$, $-\text{CONR}_6\text{R}_7$; or R_4R_4 may together be $=\text{O}$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to

eight members with or without the presence of heteroatoms selected N, O, S(O)_n (where n = 0 to 2), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

t = 1-4.

28. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein Z₁, Z₂, Z₃, Z₄, Z₅ and Z₆ are independently CR₂ or N provided one of Z₁ - Z₆ is a carbon atom to which the remainder of the molecule is attached;

Y₁, Y₂, Y₃ and Y₄ are C;

W₁, W₂ and W₃ are independently CR₄R₄, S(O)_r (r = 0 -2), O, or N-R₁ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl,

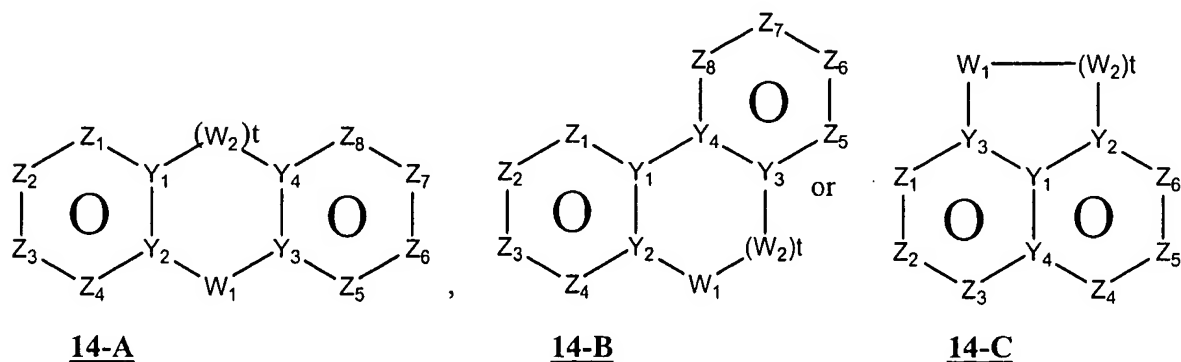
optionally substituted -C=Oalkyl, optionally substituted -C=Ocycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl; R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR_6 , $-\text{NR}_6\text{R}_7$, $-\text{CONR}_6\text{R}_7$; or $R_4\text{R}_4$ may together be =O or $R_4\text{R}_4$ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O) $_n$ (where $n=0$ to 2), N- R_1 ;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and S(O) $_n$ $n=0-2$; and

$t = 1$ to 3.

29. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein $Z_1, Z_2, Z_3, Z_4, Z_5, Z_6, Z_7$ and Z_8 are independently CR_2 or N provided one of $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

Y_1, Y_2, Y_3 and Y_4 are C;

W_1 , and W_2 are independently CR_4R_4 , S(O) $_r$ ($r = 0-2$), O, or N- R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted

alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl; R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ - optionally substituted C1-C6 [[akyl]] alkyl, $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally

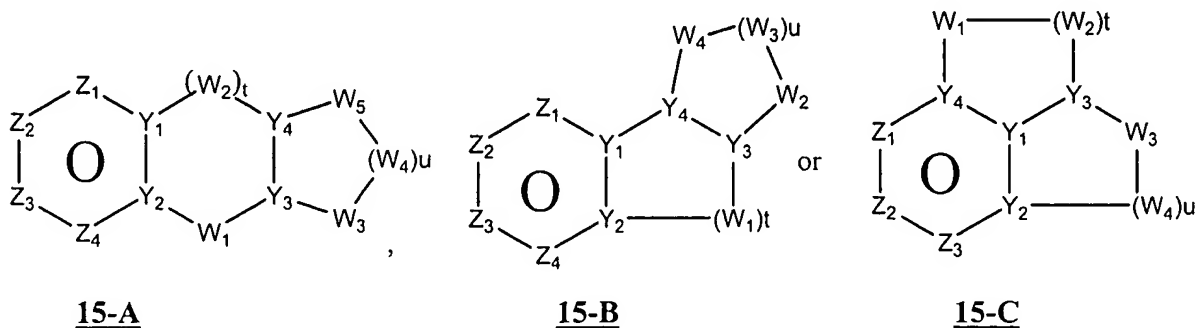
substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)_n (where n = 0 to 2), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

t = 1 to 2.

30. (Currently amended) The process according to claim 11 wherein the tricyclic heteroaryl group is



wherein Z₁, Z₂, Z₃ and Z₄ are independently CR₂ or N provided one of Z₁ - Z₄ is a carbon atom to which the remainder of the molecule is attached;

Y₁, and Y₂ are C; Y₃ and Y₄ are independently C or N; provided that in formula 15-C Y₄ is C;

W_1, W_2, W_3, W_4 and W_5 are independently CR_4R_4 , $S(O)_r$ ($r = 0-2$), O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-$ heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ - optionally substituted C1-C6 [[akyl]] alkyl, $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally

substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

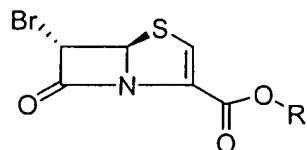
R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR_6 , $-\text{NR}_6\text{R}_7$, $-\text{CONR}_6\text{R}_7$; or R_4R_4 may together be $=\text{O}$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, $\text{S}(\text{O})_n$ (where $n=0$ to 2), N- R_1 ;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and $\text{S}(\text{O})_n$ $n = 0-2$;

$t = 1$ to 3; and

$u = 1$ to 3.

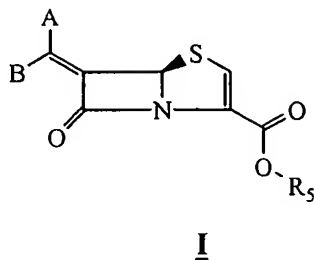
31. (Canceled) The 6-bromo-penem derivative of structure 16



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wherein R is p-nitrobenzyl.

32. (Currently amended) A process for the preparation of compound of formula I



wherein

one of A and B denotes hydrogen and the other is aryl optionally substituted with one or two R₂, heteroaryl optionally substituted with one or two R₂, a fused bicyclic heteroaryl optionally substituted with one or two R₂, fused tricyclic heteroaryl optionally substituted with one or two R₂, cycloalkyl optionally substituted with one or two R₂, alkyl optionally substituted with one or two R₂, alkenyl optionally substituted with one or two R₂, alkynyl optionally substituted with one or two R₂, saturated or partially saturated heteroaryl optionally substituted with one or two R₂;

R₅ is H, an in vivo hydrolyzable ester selected from the group C1 –C6 alkyl, C5 – C6 cycloalkyl, CHR₃OCOC1-C6 or a salt selected from the group consisting of Na, K, and Ca;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 –C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 [[akyl]] alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally

substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₃ is hydrogen, C1-C6 alkyl, C3 – C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, S=(O)_n n = 0-2;

which process comprises the following steps:

- (a) dissolving 6-aminopenicillanic acid in an organic solvent and water in the presence of hydrobromic acid and sodium or potassium nitrite solution to form the 6-bromo derivative

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and converting the 6-bromopenicillanic acid 21 derivative to the p-Nitrobenzyl 6-bromopenicillanate 22

using 4-nitrobenzylbromide in the presence of base in an organic solvent;

- (b) oxidizing the 4-nitrobenzyl 6-bromopenicillanate 22 to form 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23

(c) refluxing the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 with 2-mercaptobenzothiazole in an aromatic solvent to form 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24

(d) dissolving the 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24 in an organic solvent and reacting with an organic tertiary amine base to form 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25

(e) converting the 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25 to 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 by reacting in an aromatic organic solvent in the presence of an organic acid, acetic anhydride/ organic tertiary amine base and trialkyl or triaryl phosphine at about -10°C to -30°C ;

(f) said 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 being taken up in an organic solvent at -70°C to -90°C and ozonized oxygen being passed through it for at least 3 hours followed by intramolecular cyclization using a phosphite reagent to form 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate 16;

(g) converting said 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate 16 to the desired formula I product as described in claim 1.

33. (Original) The process according to claim 32 wherein the 6-aminopenicillanic acid is dissolved in methanol or THF.

34. (Previously presented) The process according to claim 32 wherein step (a) is performed in the presence of 48% w/w hydrobromic acid.

35. (Original) The process according to claim 34 wherein step (a) is performed at -10°C to -30°C .

36. (Original) The process according to claim 32 wherein the base in step (a) is sodium or potassium carbonate and the organic solvent is THF or DMF.
37. (Original) The process according to claim 32 wherein the aromatic solvent in step (c) is toluene or xylene.
38. (Original) The process according to claim 32 comprising the sequential conversion of compound 23 to 26 wherein there is no isolation of the intermediates.
39. (Currently amended) The process according to claim 38 wherein the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 is reacted with mercaptobenzothiazole in refluxing aromatic organic solvent and is treated with triethylamine at about 0 to -20° C to form a reaction mixture; said reaction mixture is charged with an organic acid and an anhydride, an organic tertiary amine base and a trialkyl or triaryl phosphate sequentially at about -10° C to -40° C.
40. (Original) The process according to claim 32 wherein step (g) is carried out without isolating the aldol intermediate.
41. (Canceled)
42. (Canceled)